

Title: GYLOCOSYLATED
HUMANIZED B-CELL SPECIFIC
ANTIBODIES
Inventor(s): Shui-on LEUNG et al.
DOCKET NO.: 018733-1359

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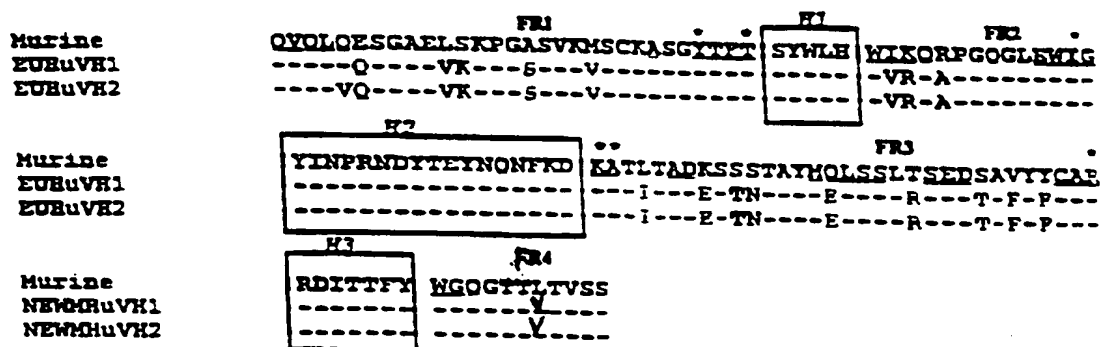


FIG. 1B

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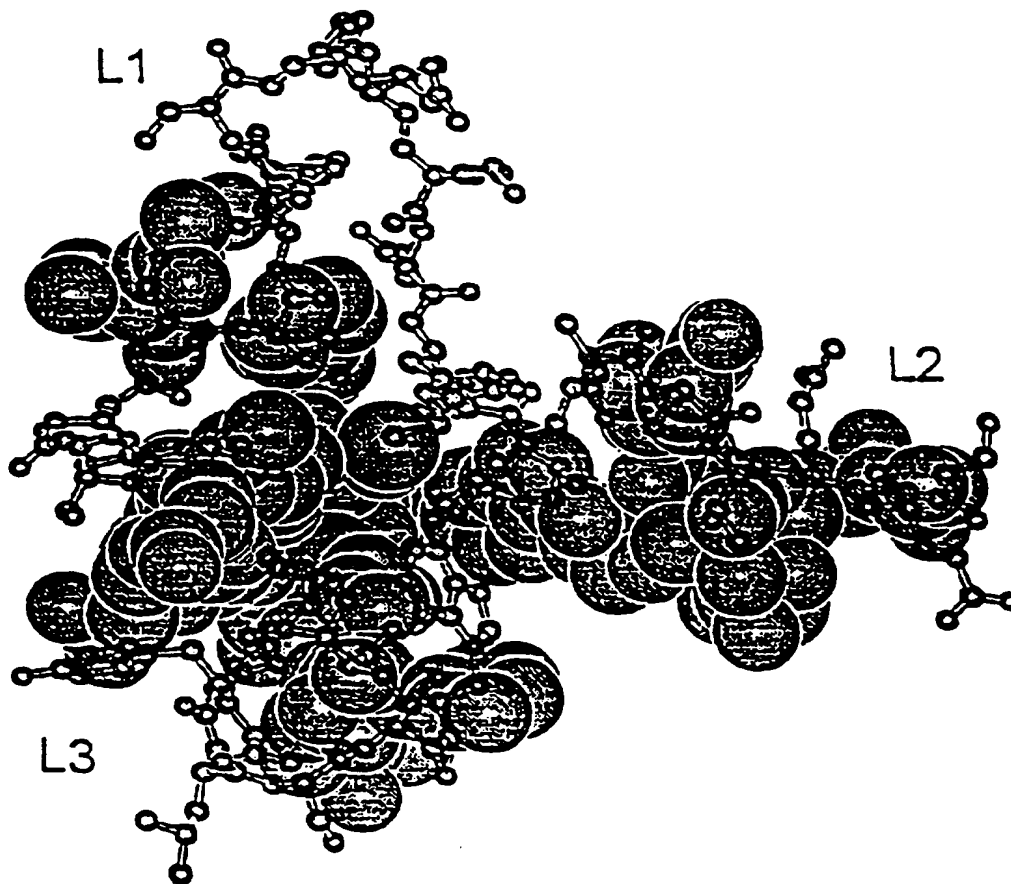


FIG. 2A

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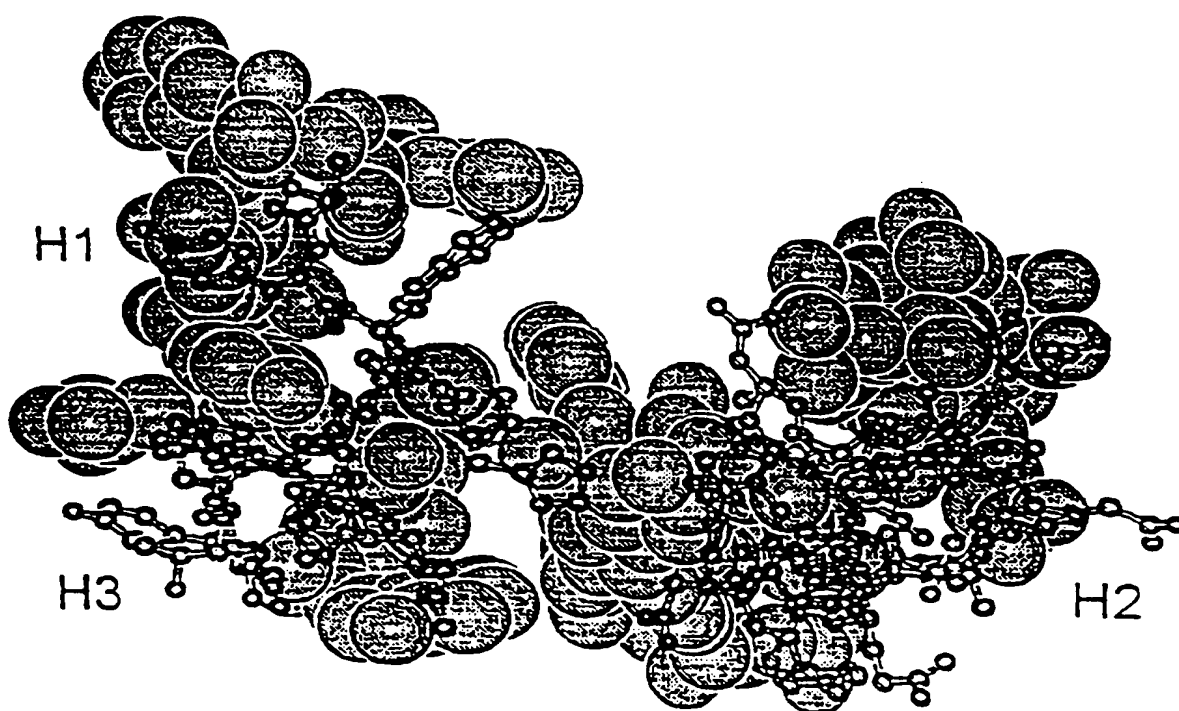


FIG. 2B

Title: GYLOCOSYLATED
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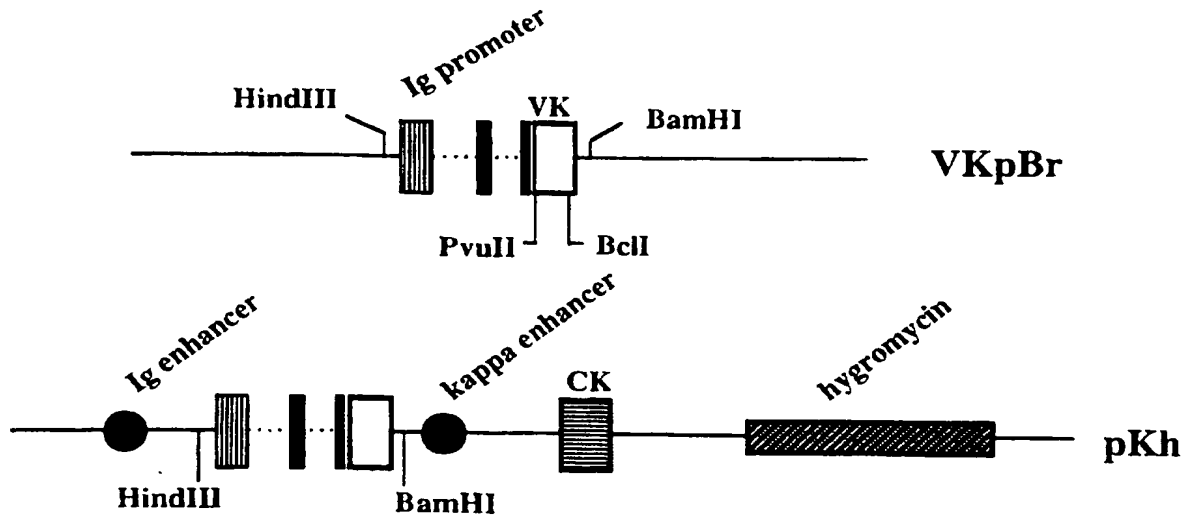


FIG. 3A

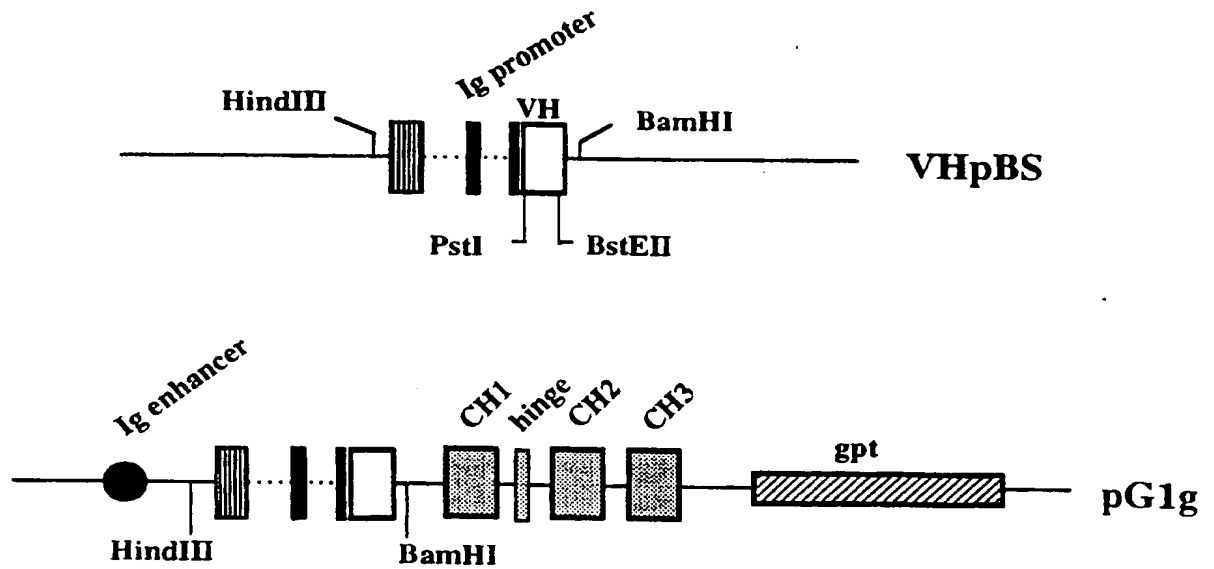


FIG. 3B

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LL2 VK

SEQUENCE ID NO. 3

GACATTCAGCTGACCAGTCTCCATCATCTCTGGCTGTGTCTGCAGGAGAAAACGTCCTACT
60
CTGTAAGTTCGACTGGGTCAGAGGTAGTAGACACCGACACAGACGTCCTCTTTTGCACTGA

D I Q L T Q S P S S L A V S A G E N V T -

ATGAGCTGTAAGTCCAGTCAAAGTGTATTATACAGTGCAAATCACAAGAATACTTGGCC
61
TACTCGACATTCAGGTCAGTTTCACAAATATGTACAGTTTAGTGTTCTTGATGAACCGG
CDR1
H S C [K S S Q S V L Y S A N H K N Y L A] -

TGGTACCAGCAGAAACCAGGGCAGTCTCCTAACTGCTGATCTACTGGGCATCCACTAGG
121
ACCATGGTTCGTCTTTGGTCCCCTCAGAGGATTGACGACTAGATGACCCGTAGGTGATCC
CDR2
W Y Q Q K P G Q S P K L L I Y [W A S T R] -

GAATCTGGTGTCCCTGATCGCTTCACAGGCACGGATCTGGGACAGATTTTACTCTTACC
181
CTTAGACCACAGGGACTAGCGAAGTGTCCGTGCGCTAGACCCTGTCTAAAATGAGAATGG
[E S] G V P D R F T G S G S G T D F T L T -

ATCAGCAGAGTACAAGTTCAAGACCTGGCAATTTATTATTGTCACCAATACCTCTCTCTCG
241
TAGTCGTCTCATGTTCAACTTCTCGACCGTTAAATAATAACAGTGGTTATGGAGAGGACC
CDR3
I S R V Q V E D L A I Y Y C [H O Y L S S] -

TGGACGTTCCGTTGGAGGGACCAAGCTGGAGATCAAACGT
301
ACCTGCAAGCCACCTCCCTGGTTTCGACCTCTAGTTTCCA
[W T] F G G G T K L E I K R -

FIG. 4A

Title: GYLOCOSYLATED
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SEQUENCE ID NO. 6

```
CAGGTCCAGCTGGTCCAATCAGGGGCTGAAGTCAAGAAACCTGGGTCATCAGTGAAGGTC
1 -----+-----+-----+-----+-----+-----+-----+-----+ 60
GTCCAGGTCGACCAGGTTAGTCCCCGACTTCAGTTCTTTGGACCCAGTAGTCACTTCCAG

Q V Q L V Q S G A E V K K P G S S V R V -

TCCTGCAAGGCTTCTGGCTACACCTTTACTAGCTACTGGCTGCACTGGGTCAGGCAGGCA
61 -----+-----+-----+-----+-----+-----+-----+-----+ 120
AGGACGTTCCGAAGACCGATGTGGAATGATCGATGACCGACGTGACCCAGTCCGTCCGT
                                CDR1
S C K A S G Y T F T   S Y W L H   W V R Q A -

CCTGGACAGGGTCTGGAATGGATTGGATACATTAATCCTAGGAATGATTATACTGAGTAC
121 -----+-----+-----+-----+-----+-----+-----+-----+ 180
GGACCTGTCCCAGACCTTACCTAACCTATGTAATTAGGATCCTTACTAATATGACTCATG
                                CDR2
P G Q G L E W I G   Y I N P R N D Y T E Y   -

AATCAGAACTTCAAGGACAAGGCCACAATAACTGCAGACGAATCCACCAATACAGCCTAC
181 -----+-----+-----+-----+-----+-----+-----+-----+ 240
TTAGTCTTGAAGTTCCTGTTCCGGTGTTATTGACGTCTGCTTAGGTGGTTATGTCCGGATG

N Q N F K D   K A T I T A D E S T N T A Y -

ATGGAGCTGAGCAGCCTGAGGTCTGAGGACACGGCATTTTATTTTGTGCAAGAAGGGAT
241 -----+-----+-----+-----+-----+-----+-----+-----+ 300
TACCTCGACTCGTCGGACTCCAGACTCCTGTGCCGTAAAATAAAAACAGTTCTTCCCTA

M E L S S L R S E D T A F Y F C A R   R D   -

ATTACTACGTTCTACTGGGGCCAAGGCACCACGGTCACCGTCTCCTCG
301 -----+-----+-----+-----+-----+-----+-----+-----+ 348
TAATGATGCAAGATGACCCCGGTTCCGTGGTGCCAGTGCCAGAGGAGC
                                CDR3
I T T F Y   W G Q G T T V T V S S -
```

FIG. 5B

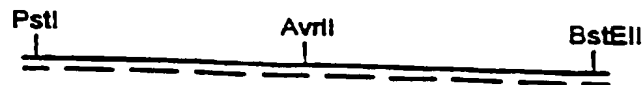
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Designed sequence for humanized LL2 VH domain:



Construction of the humanized LL2 VH domain by long oligonucleotides and PCR:

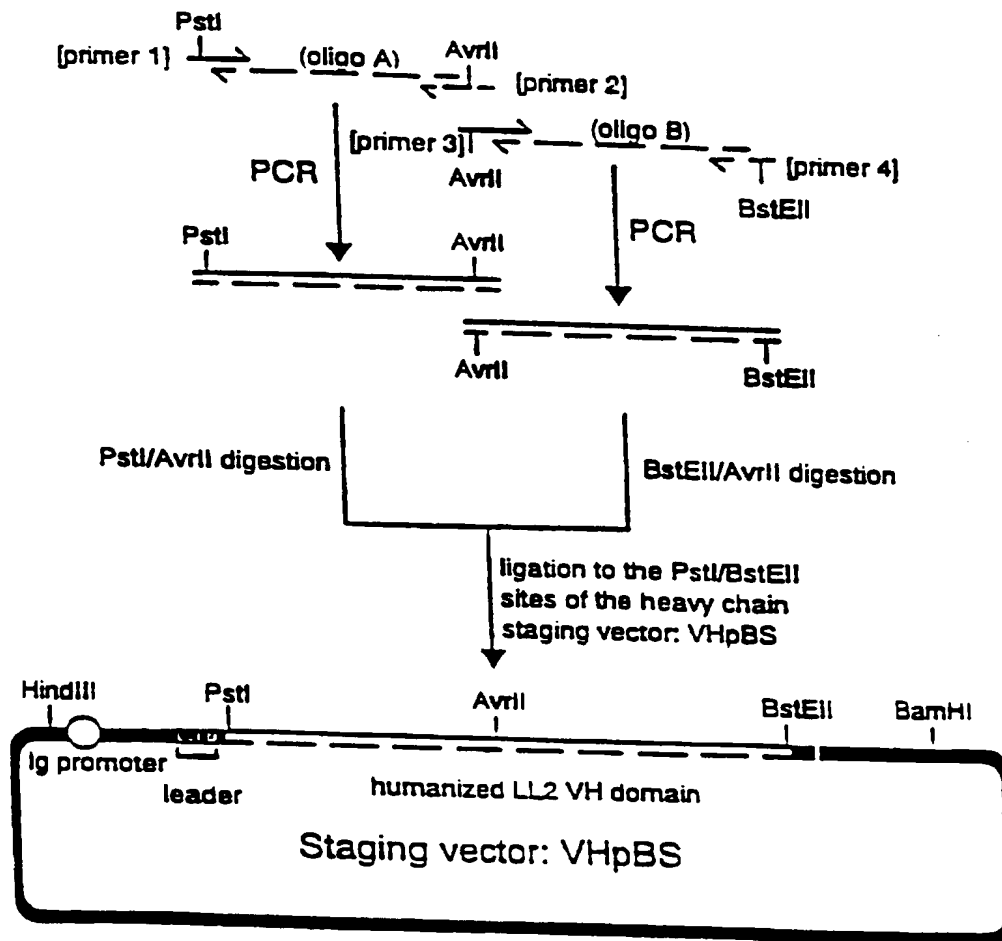


FIG. 6

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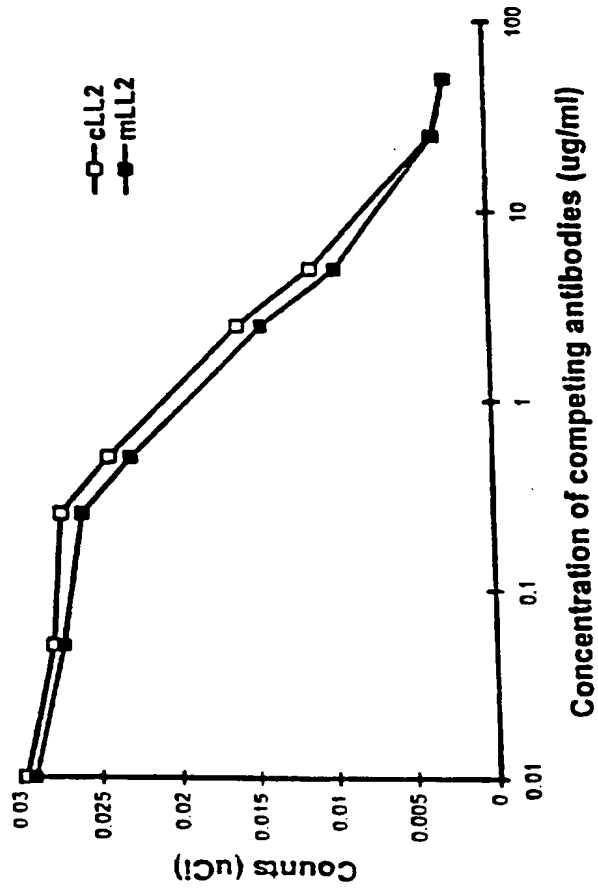


FIG. 7

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FIG. 8B

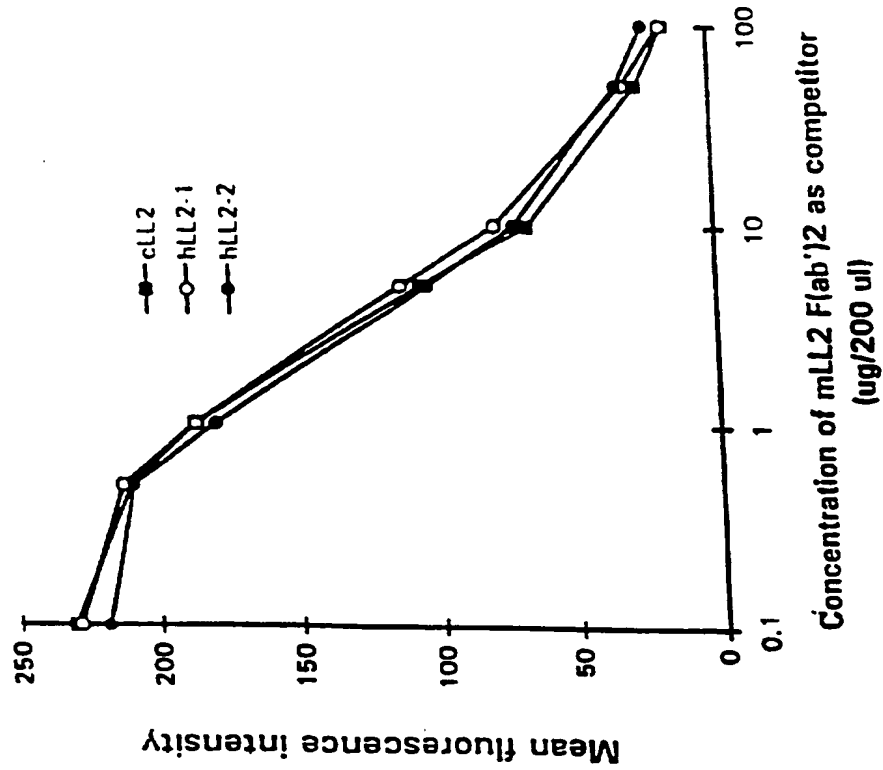
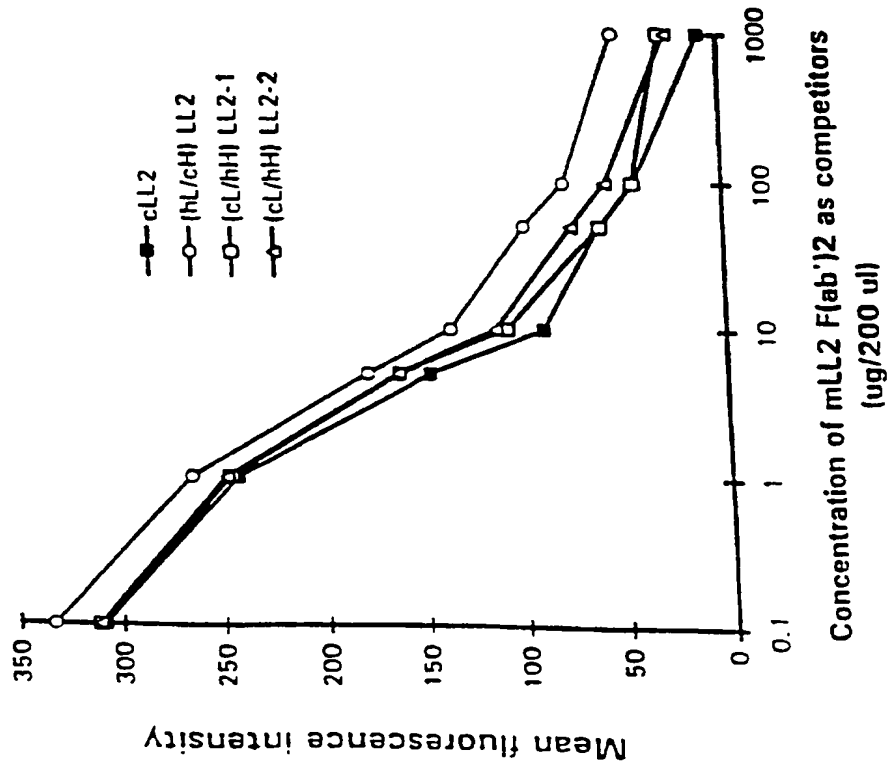


FIG. 8A



Title: GYLOCOSYLATED
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Internalization: c-LL2. h-LL2 vs. m-LL2 in Raji cells

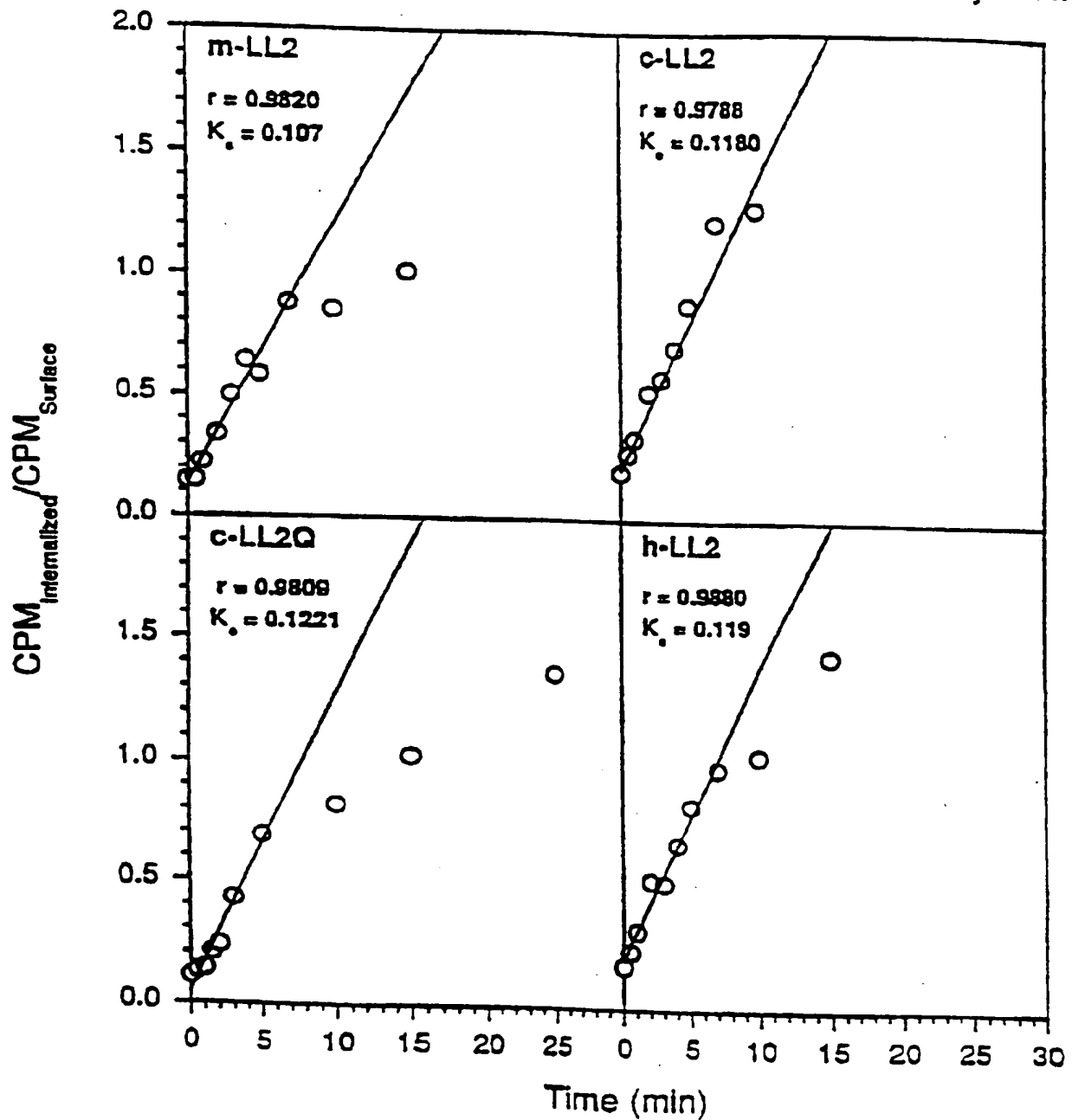


FIG. 9

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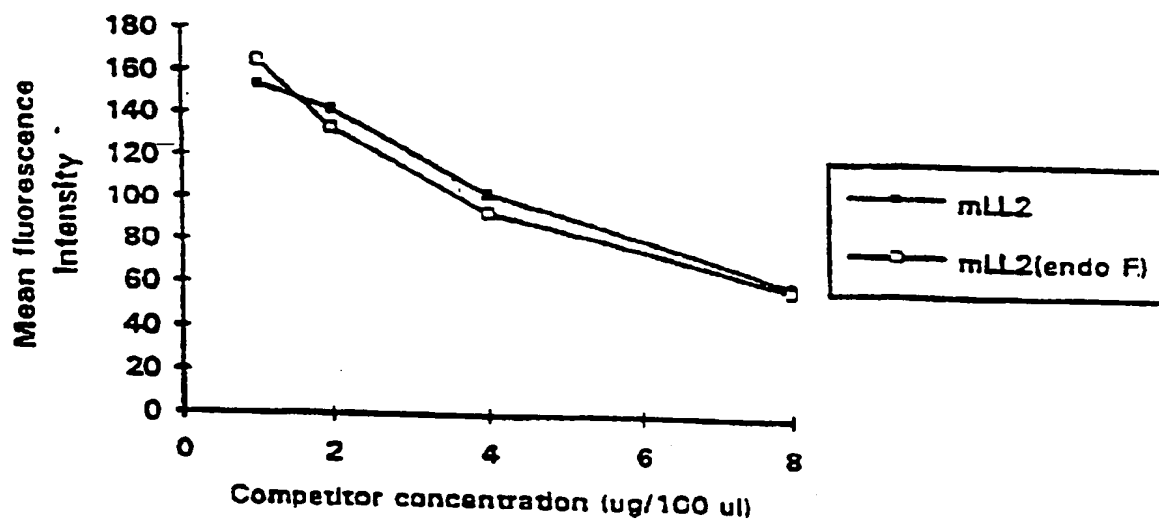


FIG. 10

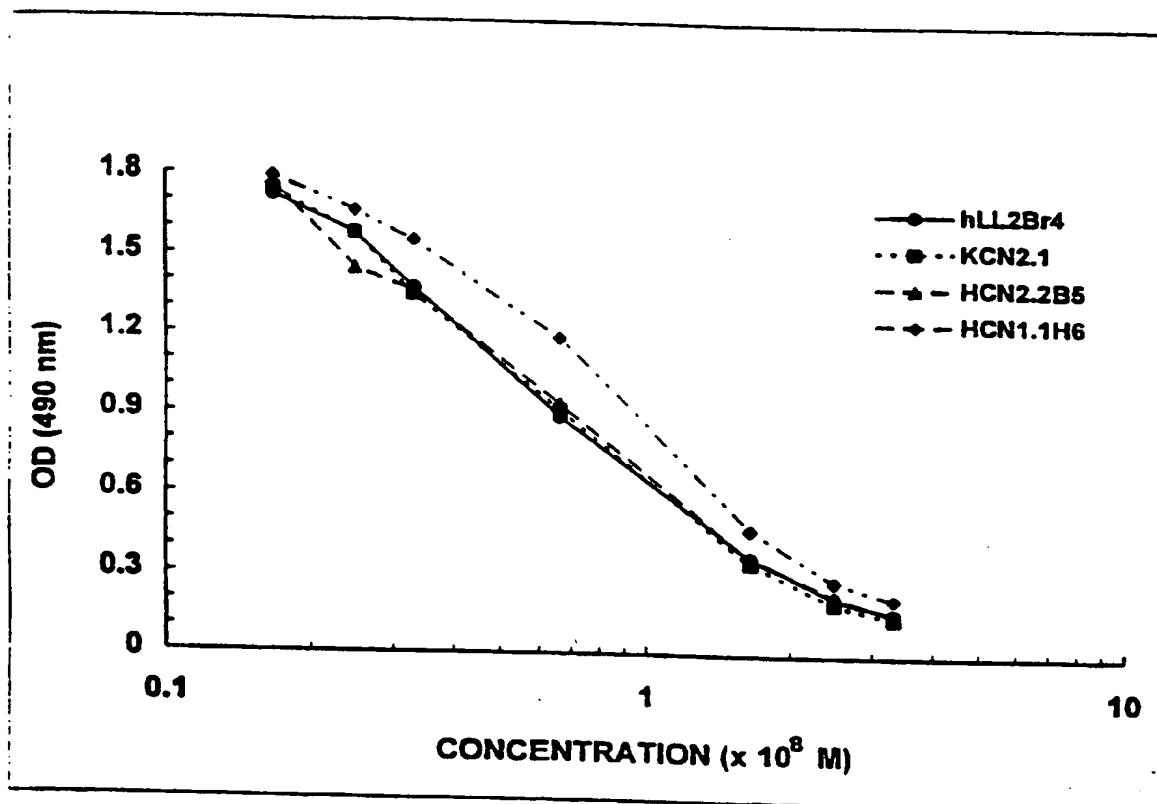
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FIG. 11



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	149	*	*	*	*	*	207	**
H chain	PEPVTIVSWNSGALT---	SGVHTFPAVLQSSGLYSLSSVTV-	PSSSLGTQTYI					
H1CN1	PEPVTIVSWNSGALT---	SGVHTFPAVLQSSGLYSLSSVTV-	PSSSLGTQTYI					
H1CN2	PEPVTIVSWNSGALT---	SGVHTFPAVLNSGGLYSLSSVTV-	PSSSLGTQTYI					
H1CN3	PEPVTIVSWNSGALT---	SGVHTFPAVLQSSGLYSNSVTV-	PSSSLGTQTYI					
H1CN4	PEPVTIVSWNSGALT---	SGVHTFPAVLQSSGLYSLSSVTV-	PNSSLGTQTYI					
H1CN5	PEPVTIVSWNSGALT---	SGVHTFPAVLQSSGLYSLSSVTV-	PSSSNGTQTYI					
	141						193	
K chain	PREAKVQWKVDNALQSGNSQESVTEQDSKDS	TYSLSSTLTLSKADYEKHKVYA						
K1CN1	PREAKVQWKVDNALQSGNSQESVTEQDSKDS	TYSLSSTLTLSKADYEKHKVYA						
K1CN2	PREAKVQWKVDNALQSGNSQESVTEQDSKDS	TYSLSSTLTLSKADYEKHKVYA						
K1CN3	PREAKVQWKVDNALQSGNSQESVTEQDSKDS	TYSLSSTLTLSKADYEKHKVYA						
K1CN4	PREAKVQWKVDNALQSGNSQESVTEQDSKDS	TYSLSSTLTLSKADYEKHKVYA						

FIG. 12